STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 137810

TO:	From:
Dwayne C Jones Location: REM/3C70 Art Unit: 1614 Monday, November 15, 2004 Case Serial Number: 10/613798	Edward Hart Location: Biotech-Chem Library REM-1A55 Phone: 571-272-2512 edward.hart@uspto.gov

Search Notes

Examiner Jones,

Here are the results of the search you requested.

Please feel free to contact me if you have any questions.

Edward Hart

6,353,097

1 of 10

3 of 10 10/220444

4 of 10 10/157,343

5 of 10 6,664,271

6 of 10 6,465,483

7 of 10 6,436,964

RUSH

Access DB# 130510

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Dwayne C. Jones Examiner #: 71299 Date: 13Nov04

Art Unit: 164 Phone Number: 2-0574 Serial Number: 10/643,798

Mail Box and Bldg/Room Location: 3B/C70 and 3B37 Remsen Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. 1/2

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search claims 6 and in particular claim 7 (D)

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher:	NA Sequence (#)	STN
Searcher Phone #:	AA Sequence (#)	Dialog
Searcher Location:	Structure (#)	Questel/Orbit
Date Searcher Picked Up: 11/15/04	Bibliographic	Dr.Link
Date Completed: 11/15/2004	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time:	Patent Family	WWW/Internet
Online Time:	Other	Other (specify)

PTO-1590 (8-01)

Jones, Dwayne

From: Richter, Johann
Sent: Monday, November 15, 2004 12:20 PM
To: Jones, Dwayne
Subject: RE: RUSH searches

Approved.

Johann R. Richter, Ph.D., Esq.
Supervisory Patent Examiner
Biotechnology and Organic Chemistry
Art Unit 1621
571-272-0646

-----Original Message-----
From: Jones, Dwayne
Sent: Monday, November 15, 2004 12:07 PM
To: Richter, Johann
Subject: RUSH searches

Johann,

I have two rush search requests for amended cases, 10/441,272 and 10/613,798. Thanks.

Dwayne

=> file hcaplus
FILE 'HCAPLUS' ENTERED AT 13:54:20 ON 15 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 Nov 2004 VOL 141 ISS 21
FILE LAST UPDATED: 14 Nov 2004 (20041114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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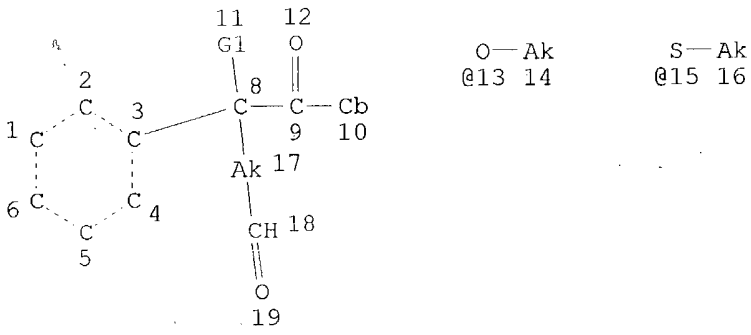
L1 STR



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S—Ak
@15 16

VAR G1=AK/13/15
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 3
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE
L3 5 SEA FILE=REGISTRY SSS FUL L1
L4 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

=> d ibib abs hitrn l4 tot

L4 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:182528 HCAPLUS
DOCUMENT NUMBER: 140:235756
TITLE: Preparation of 1-aryl-4-(3-arylpropyl)piperazines as serotonin 5-HT1A antagonists

INVENTOR(S): Godfrey, Alexander Glenn; Kohlman, Daniel Timothy; O'Toole, John Cunningham; Xu, Yao-Chang; Zhang, Tony Yantao

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S. Ser. No. 22,043.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004044009	A1	20040304	US 2003-419063	20030416
CA 2315227	AA	19990624	CA 1998-2315227	19981208
AU 9918083	A1	19990705	AU 1999-18083	19981208
AU 747040	B2	20020509		
BR 9814280	A	20011030	BR 1998-14280	19981208
JP 2002508364	T2	20020319	JP 2000-539004	19981208
NZ 505220	A	20021126	NZ 1998-505220	19981208
US 6239135	B1	20010529	US 1998-208553	19981209
ZA 9811473	A	20000614	ZA 1998-11473	19981214
NO 2000003082	A	20000802	NO 2000-3082	20000615
HR 2000000406	A1	20001231	HR 2000-406	20000616
US 2001003749	A1	20010614	US 2001-753645	20010103
US 6358958	B2	20020319		
US 2002169170	A1	20021114	US 2001-22045	20011218
US 6645967	B2	20031111		
US 2003027831	A1	20030206	US 2001-22043	20011218
US 6660859	B2	20031209		
AU 761622	B2	20030605	AU 2002-27468	20020320
AU 2002027468	A5	20020509		
US 2003008879	A1	20030109	US 2002-136101	20020430
US 6514976	B2	20030204		
US 2004049083	A1	20040311	US 2003-613798	20030702

PRIORITY APPLN. INFO.:

US 1997-69722P	P	19971216
US 1997-69791P	P	19971216
US 1998-89589P	P	19980617
US 1998-208553	A3	19981209
US 2001-753645	A3	20010103
US 2001-22043	A2	20011218
AU 1999-18083	A3	19981208
WO 1998-US26008	W	19981208
US 2001-22045	A3	20011218

OTHER SOURCE(S): MARPAT 140:235756

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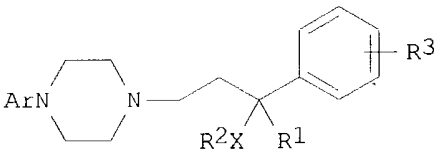


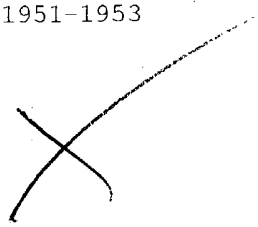
I

AB Title compds. [I; Ar = (substituted) mono- or bicyclic aryl, hetaroaryl; R1 = H, alkyl, alkoxy, alkylthio; R2 = (substituted) Ph, naphthyl, cycloalkyl; R3 = H, alkyl, alkoxy, alkylthio, alkenyl, alkynyl, haloalkyl, cycloalkyl, cycloalkenyl, halo; X = CO, CH(OH), CH2], were prepared for

treatment of e.g. memory loss (no data). Thus, 3-phenyl-3-cyclohexanecarbonylbutan-1-al (preparation given), 1-(2-methoxyphenyl)piperazine hydrochloride, HOAc, and Na triacetoxyborohydride were stirred 3 h in MeOH to give 1-(2-methoxyphenyl)-4-[3-(cyclohexanecarbonyl)-3-phenylbutyl]piperazine.

IT **228419-00-9P 228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylarylpropylpiperazines as serotonin 5-HT1A antagonists)

L4 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:337331 HCAPLUS
DOCUMENT NUMBER: 137:78926
TITLE: Asymmetric Construction of Quaternary Centers by Enantioselective Allylation: Application to the Synthesis of the Serotonin Antagonist LY426965
AUTHOR(S): Denmark, Scott E.; Fu, Jiping
CORPORATE SOURCE: Roger Adams Laboratory, Department of Chemistry, University of Illinois, Urbana, IL, 61801, USA
SOURCE: Organic Letters (2002), 4(11), 1951-1953
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:78926
GI



* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Serotonin antagonist LY426965 I (R = cyclohexyl) and a related antagonist I (R = Ph) are prepared enantioselectively in 6-8 steps from benzaldehyde, phenylacetylene, and 1-(2-methoxy)piperazine using the asym. allylation of benzaldehyde with allylic trichlorosilane (E)-PhC(Me):CHCH2SiCl3 (II) in the presence of bisdipyrrolodiazaphosphole ligand III as the key step. Phenylacetylene undergoes addition with zirconocene dichloride and trimethylaluminum followed by lithiation and hydroxymethylation to provide (E)-PhC(Me):CHCH2OH; chlorination of the allylic alc. with NCS and substitution of the chloride with trichlorosilane gives II. In the key step, addition of benzaldehyde to a solution of II in the presence of III and tetrabutylammonium iodide gives the homoallylic alc. IV in 91% yield, 98% de, and 94% er. Hydroboration of IV, selective hydrogenation of the Ph moiety alpha to the secondary alc., Swern oxidation of both alcs., and reductive amination of the aldehyde moiety with 1-(2-methoxyphenyl)piperazine gives I (R = cyclohexyl). Swern oxidation of IV followed by reductive amination of the aldehyde moiety with 1-(2-methoxyphenyl)piperazine gives I (R = Ph). The prepns. of I (R = cyclohexyl, Ph) illustrate the ability of the asym. Lewis base-catalyzed allylation of aldehydes with allylic trichlorosilanes to set quaternary carbon centers with good stereoselectivity and to provide functionalized mols. containing quaternary carbon stereocenters.

IT **440369-03-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. preparation of a serotonin antagonist using the Lewis base-catalyzed asym. allylation of aldehydes with allylic trichlorosilanes to set a quaternary carbon stereocenter in the key step)

IT **440369-06-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

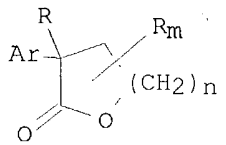
(Reactant or reagent)
(asym. preparation of the serotonin antagonist LY426965 using the Lewis base-catalyzed asym. allylation of aldehydes with allylic trichlorosilanes to set a quaternary carbon stereocenter in the key step)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:730724 HCAPLUS
DOCUMENT NUMBER: 135:272860
TITLE: Enantioselective process for preparing arylated lactones and derivatives
INVENTOR(S): Zhang, Tony Yantao; Zhang, Hongbin; Proctor, Christophor Scott
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072731	A2	20011004	WO 2001-US5800	20010312
WO 2001072731	A3	20030116		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1286980	A2	20030305	EP 2001-918212	20010312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003225282	A1	20031204	US 2003-220444	20030318
PRIORITY APPLN. INFO.:			US 2000-192148P	P 20000324
			WO 2001-US5800	W 20010312

OTHER SOURCE(S): CASREACT 135:272860; MARPAT 135:272860
GI



I

AB A process for the arylation of lactones to form to chiral and achiral aryllactones (I) having high enantioselectivity where applicable is described. These aryllactones can be used to prepare compds. chiral or achiral ketones R1COC(Ar)(R)CH2CH2NR2R3. Thus, α-(3,4-dimethoxyphenyl)-α-methyl-γ-butyrolactone was prepared from α-methyl-γ-butyrolactone and 1,2-dimethoxy-4-bromobenzene in the presence of a base [KN(TMS)2] using Pd(OAc)2/(R)-(+)-BINAP as the

catalyst.

IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation reaction with arylpiperazine)

L4 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247332 HCAPLUS
DOCUMENT NUMBER: 134:280711
TITLE: Preparation of 4-(benzothienyl)piperidines as serotonin reuptake inhibitors
INVENTOR(S): Kohlman, Daniel Timothy; Liang, Sidney Xi; Xu, Yao-chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023381	A1	20010405	WO 2000-US20824	20000914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014447	A	20020611	BR 2000-14447	20000914
JP 2003510322	T2	20030318	JP 2001-526533	20000914
AU 775299	B2	20040729	AU 2000-74699	20000914
PRIORITY APPLN. INFO.:			US 1999-157343P	P 19990929
			WO 2000-US20824	W 20000914

OTHER SOURCE(S): MARPAT 134:280711
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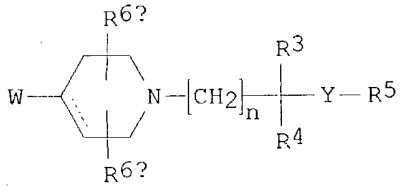

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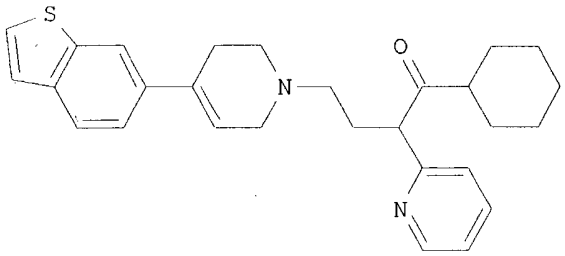

II

AB The title compds. [I; W = (un)substituted benzothienyl, benzofuranyl; Y = CO, CHOH, CH2, etc.; n = 1-4; R3 = O, OH, hydroxyalkyl, etc.; R4 = (un)substituted aryl, heterocyclyl,cycloalkyl; R5 = (un)substituted aryl, heterocyclyl,cycloalkyl; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin and antagonize the serotonin receptor, and therefore are useful in alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine, and treating depression, were prepared and formulated. E.g., a multi-step synthesis of II was given.

IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-(benzothienyl)piperidines as serotonin reuptake inhibitors)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247331 HCAPLUS
DOCUMENT NUMBER: 134:280710
TITLE: Preparation of benzothienyl-substituted piperidines as serotonin reuptake inhibitors
INVENTOR(S): Liang, Sidney Xi; Xu, Yao-chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023380	A1	20010405	WO 2000-US20823	20000914

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SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000014668	A	20020618	BR 2000-14668		20000914
EP 1220853	A1	20020710	EP 2000-961329		20000914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003510321	T2	20030318	JP 2001-526532		20000914
US 6664274	B1	20031216	US 2002-70183		20020716
PRIORITY APPLN. INFO.:			US 1999-156762P	P	19990929
			WO 2000-US20823	W	20000914

OTHER SOURCE(S): MARPAT 134:280710
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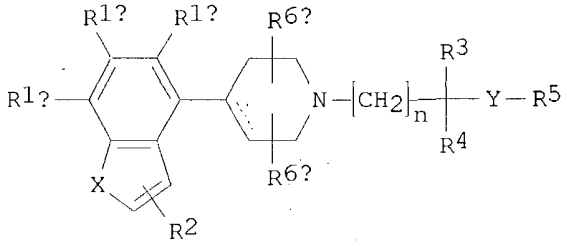

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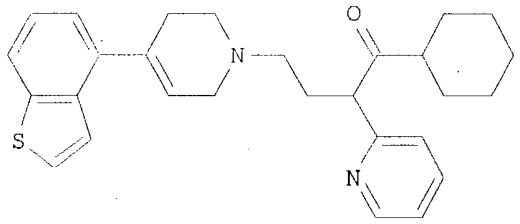


II

AB The title compds. [I; X = O, S; Y = CO, CHOH, CH2, etc.; n = 1-4; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH, hydroxyalkyl, etc.; R4 = aryl, heterocyclyl, cycloalkyl, etc.; R5 = aryl, heterocyclyl, cycloalkyl, etc.; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin, antagonize the 5-HT1A receptor and antagonize the 5-HT2A receptor, and therefore are useful for alleviating the symptoms caused by withdrawal from the use of tobacco or nicotine, and depression, were prepared and formulated. E.g., a multi-step synthesis of II was given.

IT **228419-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of benzothienyl-substituted piperidines as serotonin reuptake inhibitors)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:15021 HCAPLUS
DOCUMENT NUMBER: 132:64187
TITLE: Preparation of azepine derivatives having effects on

serotonin related systems

INVENTOR(S): Hauser, Kenneth Lee; Hertel, Larry Wayne; Xu, Yao-Chang

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

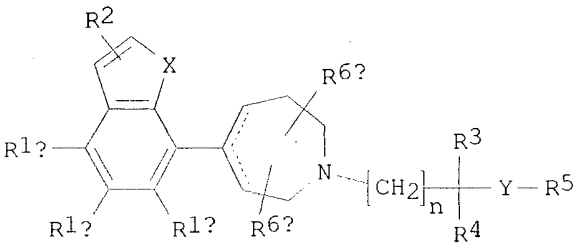
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000203	A1	20000106	WO 1999-US14778	19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335310	AA	20000106	CA 1999-2335310	19990629
AU 9947277	A1	20000117	AU 1999-47277	19990629
EP 1091741	A1	20010418	EP 1999-930830	19990629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002519326	T2	20020702	JP 2000-556788	19990629
US 6465453	B1	20021015	US 2000-701363	20001128
US 2002193590	A1	20021219	US 2002-141424	20020508
PRIORITY APPLN. INFO.:			US 1998-91245P P	19980630
			WO 1999-US14778 W	19990629
			US 2000-701363 A3	20001128

OTHER SOURCE(S): MARPAT 132:64187

GI



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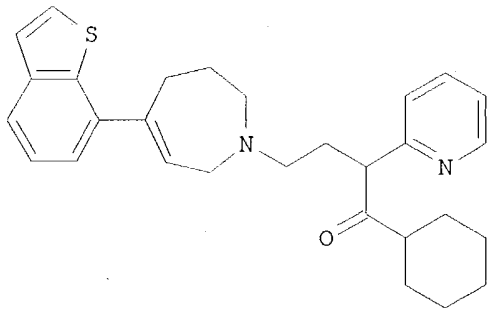

II

AB The title compds. [I; X = O, S, NR, SO, SO2; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl; R5 = (un)substituted aryl, heterocyclyl, cycloalkyl; R6a, R6b = H, alkyl], useful in inhibiting the reuptake of serotonin, antagonizing the 5-HT1A receptor and antagonizing the 5-HT2A receptor, and therefore useful in treating depression, were prepared and formulated. E.g., a multi-step synthesis of the title compound II was given. Compds. I are effective at 20-25 mg/day.

IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azepine derivs. having effects on serotonin related systems)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:15012 HCAPLUS
DOCUMENT NUMBER: 132:64175
TITLE: Preparation of piperidine derivatives having effects on serotonin related systems
INVENTOR(S): Hertel, Larry Wayne; Kohlmam, Daniel Timothy; Liang, Sidney Xi; Wong, David Taiwai; Xu, Yao-Chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000198	A1	20000106	WO 1999-US14732	19990629

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2336117	AA	20000106	CA 1999-2336117	19990629
AU 9947266	A1	20000117	AU 1999-47266	19990629
EP 982304	A1	20000301	EP 1999-305095	19990629
EP 982304	B1	20021002		
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EP 1146045	A1	20011017	EP 2001-202620	19990629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002519323	T2	20020702	JP 2000-556783	19990629
AT 225345	E	20021015	AT 1999-305095	19990629
ES 2181366	T3	20030216	ES 1999-305095	19990629
US 6436964	B1	20020820	US 2000-701406	20001128
PRIORITY APPLN. INFO.:			US 1998-91241P	P 19980630
			EP 1999-305095	A3 19990629
			WO 1999-US14732	W 19990629

OTHER SOURCE(S): MARPAT 132:64175
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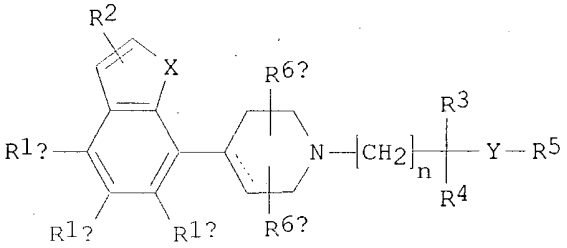

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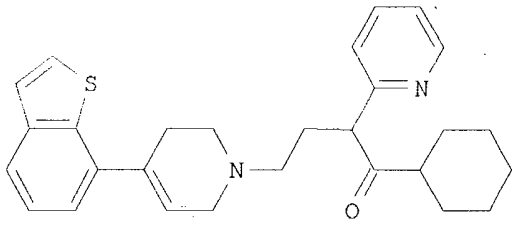


II

AB The title compds. [I; X = O, S, SO, SO2, NR; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, Br, etc.; R3 = O, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl, etc., R5 = (un)substituted aryl, heterocyclyl, cycloalkyl, etc., R6a, R6b = H, alkyl] and their pharmaceutically acceptable salts, useful for inhibiting the reuptake of serotonin, antagonizing the 5-HT1A receptor and

antagonizing the 5-HT2A receptor, and therefore useful in treating depression, were prepared and formulated. E.g., a multi-step synthesis of tetrahydropyridine II.oxalate, was given. In general, compds. I are effective at 1-200 mg/day.

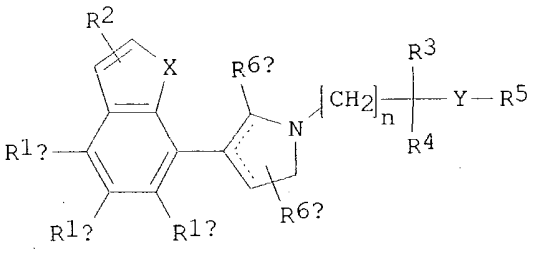
IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine derivs. having effects on serotonin related systems)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:15008 HCAPLUS
DOCUMENT NUMBER: 132:78467
TITLE: Preparation of pyrrolidine and pyrroline derivatives having effects on serotonin related systems
INVENTOR(S): Hertel, Larry Wayne; Xu, Yao-chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000000196	A1	20000106	WO 1999-US14881		19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2334897	AA	20000106	CA 1999-2334897		19990629
AU 9948501	A1	20000117	AU 1999-48501		19990629
EP 1100501	A1	20010523	EP 1999-932127		19990629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
JP 2002519321	T2	20020702	JP 2000-556781		19990629
US 6353008	B1	20020305	US 2000-701361		20001128
PRIORITY APPLN. INFO.:			US 1998-91204P	P	19980630
			WO 1999-US14881	W	19990629

OTHER SOURCE(S): MARPAT 132:78467
GI



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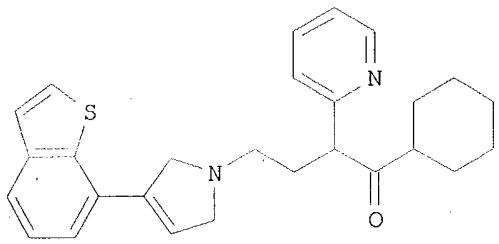


II

AB The title compds. [I; X = O, S, NR, SO, SO2; Y = CO, CH(OH), CH2, etc.; n = 1-4; R = H, alkyl; R1a, R1b, R1c, R2 = H, F, Cl, etc.; R3 = H, OH, alkyl, etc.; R4 = (un)substituted aryl, heterocyclyl, cycloalkyl; R5 = (un)substituted aryl, heterocyclyl, cycloalkyl; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin, antagonize the 5-HT1A receptor and antagonize the 5-HT2A receptor, and therefore are useful in the treatment of depression, were prepared and formulated. Thus, treatment of 3-(2-pyridyl)-4-cyclohexyl-4-keto-butyraldehyde ethylene ketal with 3N HCl followed by addition of Na2SO4 and 3,4-dihydro-3-(7-benzothiophenyl)pyrrolidine in CH2Cl2, and then NaBH(OAc)3 afforded 24% II. Compds. I are effective, in general, at 1-200 mg/day.

IT **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolidine and pyrroline derivs. having effects on serotonin related systems)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

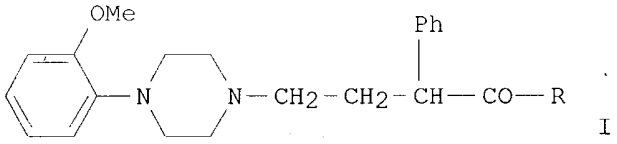
L4 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:401578 HCAPLUS
DOCUMENT NUMBER: 131:58847
TITLE: Arylpiperazines having activity at the serotonin 1a receptor
INVENTOR(S): Kohlman, Timothy Daniel; Xu, Yao-chang; Godfrey, Alexander Glenn; O'Toole, John Cunningham; Zhang, Tony Yantao
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 924205		A1	19990623	EP 1998-310223	19981214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TW 520366		B	20030211	TW 1998-87119922	19981201
CA 2315227		AA	19990624	CA 1998-2315227	19981208
WO 9931077		A1	19990624	WO 1998-US26008	19981208
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9918083		A1	19990705	AU 1999-18083	19981208
AU 747040		B2	20020509		
TR 200001727		T2	20001023	TR 2000-200001727	19981208
BR 9814280		A	20011030	BR 1998-14280	19981208
JP 2002508364		T2	20020319	JP 2000-539004	19981208
NZ 505220		A	20021126	NZ 1998-505220	19981208
ZA 9811473		A	20000614	ZA 1998-11473	19981214
NO 2000003082		A	20000802	NO 2000-3082	20000615
HR 2000000406		A1	20001231	HR 2000-406	20000616
AU 761622		B2	20030605	AU 2002-27468	20020320
AU 2002027468		A5	20020509		
US 2004049083		A1	20040311	US 2003-613798	20030702
PRIORITY APPLN. INFO.:				US 1997-69722P	P 19971216
				US 1997-69791P	P 19971216
				US 1998-89589P	P 19980617
				AU 1999-18083	A3 19981208
				WO 1998-US26008	W 19981208
				US 1998-208553	A3 19981209
				US 2001-753645	A3 20010103
				US 2001-22045	A3 20011218

OTHER SOURCE(S): MARPAT 131:58847

GI



I

AB Aryl piperazine compds. are effective pharmaceuticals for the treatment of conditions related to or affected by the serotonin 1A receptor; the compds. are particularly effective antagonists at that receptor, and are particularly useful for alleviating the symptoms of nicotine and tobacco withdrawal. Title compds. such as I (R = Ph, cyclohexyl, cycloheptyl, cyclopentyl) were prepared from 1-(2-methoxyphenyl)piperazine and RCOCHPhCH2CHO in 67-95% yields. Among the approx. 5 other compds. similarly prepared were 1-(2-methoxyphenyl)-4-[3-cyclohexanecarbonyl-3-(phenyl)butyl]piperazine, 1-(2-pyridyl)-4-[3-cyclohexanecarbonyl-3-(phenyl)butyl]piperazine and 1-(2-ethoxyphenyl)-4-[3-cyclohexanecarbonyl-3-(phenyl)butyl]piperazine.

IT **228419-00-9P**, 3-Benzoyl-3-phenylbutanal **228419-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylpiperazines having activity at serotonin 1a receptor)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:573697 HCAPLUS
DOCUMENT NUMBER: 111:173697
TITLE: Intramolecular nucleophilic addition to unsaturated carbon. Dependence of cyclization efficiency on the method of carbon-carbon bond cleavage utilized to generate the reactive species
AUTHOR(S): Paquette, Leo A.; Gilday, John P.; Maynard, George D.
CORPORATE SOURCE: Evans Chem. Lab., Ohio State Univ., Columbus, OH, 43210, USA
SOURCE: Journal of Organic Chemistry (1989), 54(21), 5044-53
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:173697
AB The following three reactions have been studied for the purpose of comparing their intrinsic ability to generate carbanionic intermediates capable of intramol. cyclization: (a) the Haller-Bauer cleavage of ketones PhCOCMePh(CH2)nCH:CH2 (I; n = 3,4), well as (S)-(+)-I (n = 3); (b) the base-promoted cleavage of 1,1-diarylcarbinols HOCPh2CMePh(CH2)nCH:CH2 (II), and (c) decarboxylative elimination within the methyllithium adducts of carboxylic acids HO2CCMePh(CH2)nCH:CH2. The Haller-Bauer process proceeds predominantly via carbanion intermediates, which most often experience protonation to give PhCHMe(CH2)nCH:CH2. Cyclization becomes possible, however, under certain circumstances and reaches a maximum of 33% with NaNH2 in benzene. Using (+)-I (n = 3) as a probe, it has been possible to ascertain that 56% of the reactive intermediate mols. racemize and that only the racemic species generates cyclic product. On the other hand, the Cram-type cleavages of II proceed mainly by homolytic cleavage to generate the benzophenone radical anion and free-radical intermediate. The latter dimerize, capture solvent, and abstract hydrogen to varying degrees depending upon counterion and solvent. Finally, reactions of type c are the most efficient at effecting intramol. ring closure.
IT **123027-34-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Wittig reaction of)

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(FILE 'HOME' ENTERED AT 13:36:59 ON 15 NOV 2004)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 13:37:08 ON 15 NOV 2004
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L3 5 S L2 FULL

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E1 THROUGH E5 ASSIGNED

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FILE 'REGISTRY' ENTERED AT 13:55:45 ON 15 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 14 NOV 2004 HIGHEST RN 780728-63-4
DICTIONARY FILE UPDATES: 14 NOV 2004 HIGHEST RN 780728-63-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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1 228419-04-3/BI
(228419-04-3/RN)
1 228419-00-9/BI
(228419-00-9/RN)
1 123027-34-9/BI
(123027-34-9/RN)
1 440369-03-9/BI
(440369-03-9/RN)
1 440369-06-2/BI
(440369-06-2/RN)
L5 5 (228419-04-3/BI OR 228419-00-9/BI OR 123027-34-9/BI OR 440369-03
-9/BI OR 440369-06-2/BI)

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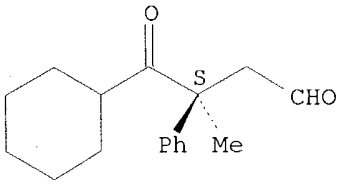
L5 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **440369-06-2** REGISTRY
CN Benzenepropanal, β-(cyclohexylcarbonyl)-β-methyl-, (βS)-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H22 O2
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry. Rotation (+).



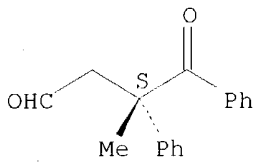
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:78926

L5 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **440369-03-9** REGISTRY
CN Benzenebutanal, β-methyl-γ-oxo-β-phenyl-, (βS)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H16 O2
SR CA
LC STN Files: CA, CAPLUS, CASREACT
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry. Rotation (-).

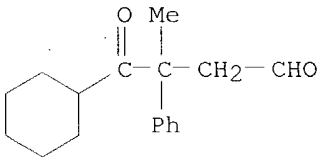


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:78926

L5 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **228419-04-3** REGISTRY
CN Benzenepropanal, β-(cyclohexylcarbonyl)-β-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H22 O2
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)



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REFERENCE 1: 140:235756

REFERENCE 2: 135:272860

REFERENCE 3: 134:280711

REFERENCE 4: 134:280710

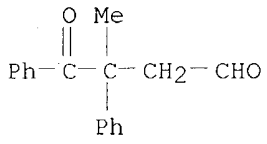
REFERENCE 5: 132:78467

REFERENCE 6: 132:64187

REFERENCE 7: 132:64175

REFERENCE 8: 131:58847

L5 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **228419-00-9** REGISTRY
CN Benzenebutanal, β-methyl-γ-oxo-β-phenyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Benzoyl-3-phenylbutanal
FS 3D CONCORD
MF C17 H16 O2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

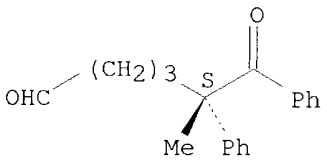
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:235756

REFERENCE 2: 131:58847

L5 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
RN **123027-34-9** REGISTRY
CN Benzenehexanal, δ-methyl-ε-oxo-δ-phenyl-, (S)- (9CI)
(CA INDEX NAME)
FS STEREOSEARCH
MF C19 H20 O2
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 111:173697